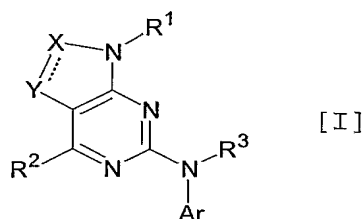


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CLAIMS

1. A pyrrolopyrimidine derivative represented by the following formula [I]:



(wherein R<sup>1</sup> is C<sub>1-9</sub>alkyl, C<sub>2-9</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-9</sub>alkyl, di(C<sub>3-7</sub>cycloalkyl)-C<sub>1-9</sub>alkyl, C<sub>1-6</sub>alkoxy-C<sub>1-9</sub>alkyl, di(C<sub>1-6</sub>alkoxy)-C<sub>1-9</sub>alkyl, hydroxy-C<sub>1-9</sub>alkyl, cyano-C<sub>1-9</sub>alkyl, carbamoyl-C<sub>1-9</sub>alkyl, di(C<sub>1-6</sub>alkyl)amino-C<sub>1-9</sub>alkyl, aryl, heteroaryl, aryl-C<sub>1-9</sub>alkyl or heteroaryl-C<sub>1-9</sub>alkyl, in which said aryl and heteroaryl are optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkylsulfonyl, aminosulfonyl, mono(C<sub>1-6</sub>alkyl)aminosulfonyl, di(C<sub>1-6</sub>alkyl)aminosulfonyl, halogen, C<sub>1-6</sub>haloalkyl, cyano, nitro, -NR<sup>1a</sup>R<sup>1b</sup>, where R<sup>1a</sup> and R<sup>1b</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub>alkyl and C<sub>1-6</sub>alkylcarbonyl;

R<sup>2</sup> is C<sub>1-6</sub>alkyl or C<sub>1-6</sub>haloalkyl;

R<sup>3</sup> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, benzyl;

the bond between X and Y is a single bond or a double bond;

wherein (1) when the bond between X and Y is a single bond, X is CR<sup>4</sup>R<sup>5</sup> or C=O; Y is CR<sup>6</sup>R<sup>7</sup>, C=O, C=N-OR<sup>8</sup> or C=CH-R<sup>9</sup>; (2) when the bond between X and Y is a double bond, X is CR<sup>10</sup>; Y is CR<sup>11</sup>;

R<sup>4</sup> and R<sup>5</sup> are the same or different, and independently are hydrogen or C<sub>1-6</sub>alkyl;

R<sup>6</sup> and R<sup>7</sup> are the same or different, and independently are hydrogen, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, hydroxy, C<sub>1-6</sub>alkylamino, di(C<sub>1-6</sub>alkyl)amino, di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylcarbonylamino, C<sub>3-6</sub>cycloalkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, C<sub>1-6</sub>alkylaminocarbonyl or C<sub>1-6</sub>alkylaminocarbonylamino; or R<sup>6</sup> and R<sup>7</sup> are taken together to form C<sub>3-6</sub>cycloalkyl, with the proviso that not both of CR<sup>4</sup>R<sup>5</sup> and CR<sup>6</sup>R<sup>7</sup>

are CH<sub>2</sub>;

R<sup>8</sup> is hydrogen or C<sub>1-6</sub>alkyl;

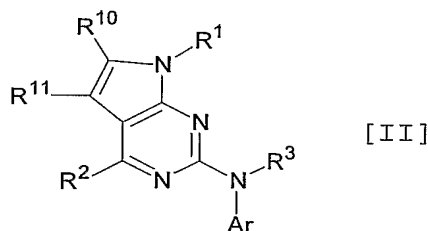
R<sup>9</sup> is C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, aryl or heteroaryl, wherein said aryl and heteroaryl are optionally substituted with one to three substituents independently selected from the group consisting of halogen or C<sub>1-6</sub>alkyl;

R<sup>10</sup> is hydrogen or C<sub>1-6</sub>alkyl;

R<sup>11</sup> is hydrogen, C<sub>1-6</sub>alkyl or di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkylsulfonyl, aminosulfonyl, mono(C<sub>1-6</sub>alkyl)aminosulfonyl, di(C<sub>1-6</sub>alkyl)aminosulfonyl, cyano, C<sub>1-6</sub>haloalkyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy and -N(R<sup>12</sup>)R<sup>13</sup>, wherein R<sup>12</sup> and R<sup>13</sup> are the same or different, and independently are hydrogen or C<sub>1-6</sub>alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

2. The pyrrolopyrimidine derivative according to claim 1 represented by the following formula [II]:



(wherein R<sup>1</sup> is C<sub>1-9</sub>alkyl, C<sub>2-9</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-9</sub>alkyl, di(C<sub>3-7</sub>cycloalkyl)-C<sub>1-9</sub>alkyl, C<sub>1-6</sub>alkoxy-C<sub>1-9</sub>alkyl, di(C<sub>1-6</sub>alkoxy)-C<sub>1-9</sub>alkyl, hydroxy-C<sub>1-9</sub>alkyl, cyano-C<sub>1-9</sub>alkyl, carbamoyl-C<sub>1-9</sub>alkyl, di(C<sub>1-6</sub>alkyl)amino-C<sub>1-9</sub>alkyl, aryl, heteroaryl, aryl-C<sub>1-9</sub>alkyl or heteroaryl-C<sub>1-9</sub>alkyl, in which said aryl and heteroaryl optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkylsulfonyl, aminosulfonyl, mono(C<sub>1-6</sub>alkyl)aminosulfonyl, di(C<sub>1-6</sub>alkyl)aminosulfonyl, halogen, C<sub>1-6</sub>haloalkyl, cyano, nitro, -NR<sup>1a</sup>R<sup>1b</sup>, where R<sup>1a</sup> and R<sup>1b</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-</sub>

alkyl and C<sub>1-6</sub>alkylcarbonyl;

R<sup>2</sup> is C<sub>1-6</sub>alkyl or C<sub>1-6</sub>haloalkyl;

R<sup>3</sup> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, benzyl;

R<sup>10</sup> is hydrogen or C<sub>1-6</sub>alkyl;

R<sup>11</sup> is hydrogen, C<sub>1-6</sub>alkyl or di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkylsulfonyl, aminosulfonyl, mono(C<sub>1-6</sub>alkyl)aminosulfonyl, di(C<sub>1-6</sub>alkyl)aminosulfonyl, cyano, haloC<sub>1-6</sub>alkyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy and -N(R<sup>12</sup>)R<sup>13</sup>, wherein R<sup>12</sup> and R<sup>13</sup> are the same or different, and independently are hydrogen or C<sub>1-6</sub>alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

3. The pyrrolopyrimidine derivative according to claim 2 represented by the formula [II], wherein R<sup>1</sup> is C<sub>1-9</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, di(C<sub>3-7</sub>cycloalkyl)-C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy-C<sub>1-6</sub>alkyl, di(C<sub>1-6</sub>alkoxy)-C<sub>1-6</sub>alkyl, hydroxy-C<sub>1-6</sub>alkyl, cyano-C<sub>1-6</sub>alkyl, carbamoyl-C<sub>1-6</sub>alkyl, di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl, aryl-C<sub>1-6</sub>alkyl or heteroaryl-C<sub>1-6</sub>alkyl; R<sup>2</sup> is C<sub>1-6</sub>alkyl; R<sup>3</sup> is hydrogen or C<sub>1-6</sub>alkyl; R<sup>10</sup> is hydrogen or C<sub>1-6</sub>alkyl; R<sup>11</sup> is hydrogen, C<sub>1-6</sub>alkyl or di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl; Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with one to three substituents, which are the same or different, selected from the group consisting of halogen, C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, cyano, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy and -N(R<sup>12</sup>)R<sup>13</sup>, wherein R<sup>12</sup> and R<sup>13</sup> are the same or different, and independently are hydrogen or C<sub>1-6</sub>alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

4. The pyrrolopyrimidine derivative according to claim 2 represented by the formula [II], wherein R<sup>1</sup> is C<sub>1-9</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, di(C<sub>3-7</sub>cycloalkyl)-C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy-C<sub>1-6</sub>alkyl, di(C<sub>1-6</sub>alkoxy)-C<sub>1-6</sub>alkyl or aryl-C<sub>1-6</sub>alkyl; R<sup>2</sup> is C<sub>1-6</sub>alkyl; R<sup>3</sup> is hydrogen or C<sub>1-6</sub>alkyl; R<sup>10</sup> is hydrogen or C<sub>1-6</sub>alkyl; R<sup>11</sup>

is hydrogen or C<sub>1-6</sub>alkyl; Ar is phenyl which phenyl is unsubstituted or substituted with one to three substituents, which are the same or different, selected from the group consisting of halogen, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkylthio, trifluoromethyl and -N(R<sup>12</sup>)R<sup>13</sup>, wherein R<sup>12</sup> and R<sup>13</sup> are the same or different, and independently are hydrogen or C<sub>1-3</sub>alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

5. The pyrrolopyrimidine derivative according to claim 2 represented by the formula [II], wherein R<sup>1</sup> is C<sub>1-9</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, di(C<sub>3-7</sub>cycloalkyl)-C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy-C<sub>1-6</sub>alkyl, di(C<sub>1-6</sub>alkoxy)-C<sub>1-6</sub>alkyl or aryl-C<sub>1-6</sub>alkyl; R<sup>2</sup> is C<sub>1-3</sub>alkyl; R<sup>3</sup> is C<sub>1-3</sub>alkyl; R<sup>10</sup> is hydrogen; R<sup>11</sup> is hydrogen; Ar is phenyl which phenyl is substituted with 2 or 3 substituents, which are the same or different, selected from the group consisting of halogen or C<sub>1-3</sub>alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

6. An antagonist for CRF receptors, comprising a pyrrolopyrimidine derivative, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claims 1 to 5, as an active ingredient.

7. Use of a pyrrolopyrimidine derivative, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claim 1 to 5, for the manufacture of an antagonist for CRF receptors.